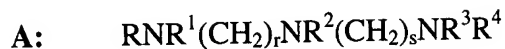


I claim:

1. Compounds of the formula A,



- 5 or a pharmaceutically acceptable salt thereof, wherein R is selected from the group consisting of naphthylmethyl, naphthylethyl, anthracenylmethyl, anthracenylethyl, pyrenylmethyl, R¹, R², R³, and R⁴ are selected from at least one of hydrogen, alkyl, cycloalkyl, alkylaryl, para-toluenesulfonyl, arenesulfonyl, alkylsulfonyl, acyl, carbamoyl, and r is 2-18 and s is 2-18.

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2. The compound according to claim 1, wherein R is selected from the group consisting of naphthylmethyl, naphthylethyl, anthracenylmethyl, anthracenylethyl, pyrenylmethyl, R¹, R², R³, and R⁴ are hydrogen and r and s are 2-5 or a pharmaceutically acceptable salt thereof.

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3. The compound according to claim 1, which is *N*-(3-Amino-propyl)-*N*-anthracen-9-ylmethyl-butane-1,4-diamine, trihydrochloride or a pharmaceutically acceptable salt thereof.

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4. The compound according to claim 1, which is *N*-(4-Amino-butyl)-*N*-anthracen-9-ylmethyl-butane-1,4-diamine, trihydrochloride or a pharmaceutically acceptable salt thereof.

5. The compound according to claim 1, which is *N*-(4-Amino-butyl)-*N*-anthracen-9-ylmethyl-pentane-1,5-diamine, trihydrochloride or a pharmaceutically acceptable salt thereof.

5 6. The compound according to claim 1, which is, *N*-(4-Amino-butyl)-*N'*-naphthalen-1-ylmethyl-butane-1,4-diamine, trihydrochloride or a pharmaceutically acceptable salt thereof.

7. The compound according to claim 1, which is *N*-(4-Amino-butyl)-*N'*-pyren-1-ylmethyl-butane-1,4-diamine, trihydrochloride or a pharmaceutically acceptable salt thereof.

8. The compound according to claim 1, which is *N*-{4-[(Anthracen-9-ylmethyl)-amino]-butyl}-cyclohexane-1,4-diamine, trihydrochloride or a pharmaceutically acceptable salt thereof.

9. A compound of the formula **B**,

B: $\text{RNR}^1(\text{CH}_2)_r\text{NR}^2(\text{CH}_2)_s\text{NR}^3(\text{CH}_2)_t\text{NR}^4\text{R}^5$

or a pharmaceutically acceptable salt thereof, wherein R is selected from the group consisting of naphthylmethyl, naphthylethyl, anthracenylmethyl, anthracenylethyl, pyrenylmethyl, wherein R^1 , R^2 , R^3 , R^4 and R^5 are selected from at least one of the following: hydrogen, alkyl, cycloalkyl, alkylaryl, para-toluenesulfonyl, arenesulfonyl, alkylsulfonyl, acyl, carbamoyl and r is 2-18, s is 2-18 and t is 2-18.

10. The compound according to claim 9, wherein R^1 , R^2 , R^3 , R^4 and R^5 are hydrogen or a pharmaceutically acceptable salt thereof.

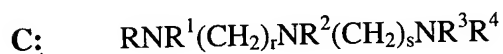
5 11. The compound according to claim 10, wherein r, s and t are 2-5.

12. The compound according to claim 9, which is N-[4-(4-Amino-butylamino)-butyl]-N-anthracen-9-ylmethyl-butane-1,4-diamine, tetrahydrochloride or a pharmaceutically acceptable salt thereof.

10

13. The compound according to claim 9, which is N-[4-(4-Amino-butylamino)-butyl]-N-anthracen-9-ylmethyl-pentane-1,5-diamine, tetrahydrochloride or a pharmaceutically acceptable salt thereof.

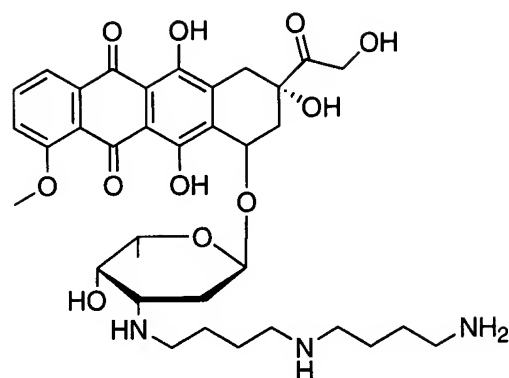
15 14. A compound of the formula C,



or a pharmaceutically acceptable salt thereof, where R is a chemotherapeutic agent and R^1 - R^4 are at least one of hydrogen, alkyl, acyl, carbamoyl or alkylaryl, and r is 2-18, and s is 2-18.

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15. The compound according to claim 14 having the structural formula



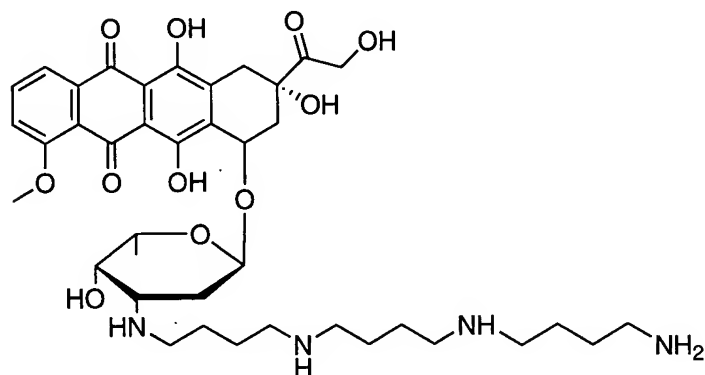
or a pharmaceutically acceptable salt thereof.

16. A compound of the formula **D**,

5 **D:** $\text{RNR}^1(\text{CH}_2)_r\text{NR}^2(\text{CH}_2)_s\text{NR}^3(\text{CH}_2)_t\text{NR}^4\text{R}^5$

or a pharmaceutically acceptable salt thereof, where R is a chemotherapeutic agent and R^1 - R^5 are at least one of hydrogen, alkyl, acyl, carbamoyl or alkylaryl, and r is 2-18, s is 2-18 and t is 2-18.

10 17. The compound according to claim 16 having the structural formula



or a pharmaceutically acceptable salt thereof.

18. The method for enhancing the efficacy of anti-cancer drugs comprised by attaching said anti-cancer drug to a polyamine vector, said vector comprising a polyamine according to claim 14.

5 19. The method for enhancing the efficacy of anti-cancer drugs comprised by attaching said anti-cancer drug to a polyamine vector, said vector comprising a polyamine according to claim 16.

20. The pharmaceutical composition comprising an anti-neoplastic effective amount
10 of a polyamine according to claim 1 and a pharmaceutically acceptable carrier thereof.

21. The pharmaceutical composition comprising an anti-neoplastic effective amount of a polyamine according to claim 9 and a pharmaceutically acceptable carrier thereof.

15 22. The pharmaceutical composition comprising an anti-neoplastic effective amount of a polyamine according to claim 14 and a pharmaceutically acceptable carrier thereof.

23. The pharmaceutical composition comprising an anti-neoplastic effective amount of a polyamine according to claim 15 and a pharmaceutically acceptable carrier thereof.

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24. The pharmaceutical composition comprising an anti-neoplastic effective amount of a polyamine according to claim 16 and a pharmaceutically acceptable carrier thereof.

25. The pharmaceutical composition comprising an anti-neoplastic effective amount of a polyamine according to claim 17 and a pharmaceutically acceptable carrier thereof.

26. The method for synthesizing polyamine compounds of the formula as in claim 1
5 comprising the steps of a) reductive amination of an arylaldehyde and an aminoalcohol to form an arylimine, b) which is then reduced to an arylalkylamine, c) the amine center is then protected and the alcohol group activated with an sulfonylhalide derivative to form an sulfonate group, d) then a diamine is used to displace the sulfonate group and e) the protecting group is removed to form the final triamine product.

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27. The method as described in claim 26 wherein said sulfonylhalide derivative is methanesulfonylhalide.

28. The method for synthesizing polyamine compounds of the formula as in
15 claim 9 comprising the steps of: a) reductive amination of an arylaldehyde and an aminoalcohol to form an arylimine b) which is then reduced to an arylalkylamine, c) the amine center is then protected and the alcohol group activated with an sulfonylhalide derivative to form an sulfonate group, d) then an aminoalcohol is used to displace the sulfonate group, e) the new amine center is then protected and the alcohol group activated
20 with an sulfonylhalide derivative to form an sulfonate group, f) a diamine is used to displace the sulfonate and g) the protecting group is removed to form the final tetraamine product.

29. The method as described in claim 28 wherein said sulfonylhalide derivative is methanesulfonylhalide.

30. The method for synthesizing polyamine compounds of the formula as in claim 15
5 comprising the steps of: a) coupling doxorubicin to {4-[tert-butoxycarbonyl-(4-oxo-butyl)-amino]-butyl}-carbamic acid tert-butyl ester via reductive amination to yield the N-Boc protected doxorubicin polyamine conjugate and b) the protecting group (Boc) is removed to give the final doxorubicin-polyamine conjugate.